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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS		JAN	0.2	STN pricing information for 2008 now available
NEWS				CAS patent coverage enhanced to include exemplified
				prophetic substances
NEWS	4	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new
				custom IPC display formats
NEWS	5	JAN	28	MARPAT searching enhanced
NEWS		JAN		USGENE now provides USPTO sequence data within 3 days
				of publication
NEWS	7	JAN	28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN	28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB	0.8	STN Express, Version 8.3, now available
NEWS	10	FEB	20	PCI now available as a replacement to DPCI
NEWS	11	FEB	25	IFIREF reloaded with enhancements
NEWS	12	FEB	25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB	29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current
				U.S. National Patent Classification
NEWS	14	MAR	31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom
				IPC display formats
NEWS	15	MAR	31	CAS REGISTRY enhanced with additional experimental
				spectra
NEWS	16	MAR	31	CA/CAplus and CASREACT patent number format for U.S.
				applications updated
NEWS		MAR		LPCI now available as a replacement to LDPCI
NEWS		MAR		EMBASE, EMBAL, and LEMBASE reloaded with enhancements
		APR		STN AnaVist, Version 1, to be discontinued
NEWS	20	APR	15	WPIDS, WPINDEX, and WPIX enhanced with new
				predefined hit display formats
NEWS		APR		EMBASE Controlled Term thesaurus enhanced
NEWS		APR		IMSRESEARCH reloaded with enhancements
NEWS	23	MAY	30	INPAFAMDB now available on STN for patent family
				searching
NEWS	24	MAY	30	DGENE, PCTGEN, and USGENE enhanced with new homology
	0.5	*****	0.0	sequence search option
NEWS		JUN		EPFULL enhanced with 260,000 English abstracts
NEWS		JUN		KOREAPAT updated with 41,000 documents
NEWS	21	JUN	13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	20	JUN	10	CAS REGISTRY includes selected substances from
NEWS	28	JUN	TA	VAS REGISTRY includes selected substances from web-based collections
NEWS	20	JUN	2.5	CA/CAplus and USPAT databases updated with IPC
NEWS	29	UUN	25	CA/CAPIUS and USPAI databases updated with IPC

07/16/2008

reclassification data

NEWS 30 JUN 30 AEROSPACE enhanced with more than 1 million U.S.

patent records

NEWS 31 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations

NEWS 32 JUN 30 STN on the Web enhanced with new STN AnaVist

Assistant and BLAST plug-in
NEWS 33 JUN 30 STN AnaVist enhanced with database content from EPFULL

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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=> FIL HCAPLUS

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FILE COVERS 1907 - 16 Jul 2008 VOL 149 ISS 3 FILE LAST UPDATED: 15 Jul 2008 (20080715/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s carvedilol
          1943 CARVEDILOL
             1 CARVEDILOLS
L1
          1943 CARVEDILOL
                 (CARVEDILOL OR CARVEDILOLS)
=> s 11 and process for the preparation
       2646810 PROCESS
       1809331 PROCESSES
       3948295 PROCESS
                 (PROCESS OR PROCESSES)
       1620414 PREPARATION
         83372 PREPARATIONS
       1699244 PREPARATION
                 (PREPARATION OR PREPARATIONS)
       2925536 PREPN
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          4035 ORGANICS
        417584 ORGANIC
                 (ORGANIC OR ORGANICS)
       1084845 ORG
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       1091017 ORG
                 (ORG OR ORGS)
       1207500 ORGANIC
                 (ORGANIC OR ORG)
       4626919 ACID
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         63026 ORGANIC ACID
                 (ORGANIC(W)ACID)
L3
             0 L2 AND ORGANIC ACID
=> s 12 and organic solvent
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                  (ORGANIC OR ORGANICS)
       1084845 ORG
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16835 ORGS

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(ORG OR ORGS)
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L4
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             9 L2 AND SALTS
=> s 12 and oxalic acid
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             1 OXALICS
         52413 OXALIC
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         48958 OXALIC ACID
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             3 L2 AND OXALIC ACID
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                 (ACID OR ACIDS)
         42236 SALICYLIC ACID
                 (SALICYLIC(W)ACID)
             2 L2 AND SALICYLIC ACID
L7
=> s 12 and sslts of carvedilol
             0 SSLTS
          1943 CARVEDILOL
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                 (SSLTS(1W)CARVEDILOL)
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=> s 12 and salts of carvedilol
        649684 SALTS
          1943 CARVEDILOL
             1 CARVEDILOLS
          1943 CARVEDILOL
                 (CARVEDILOL OR CARVEDILOLS)
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4 SALTS OF CARVEDILOL
                 (SALTS (1W) CARVEDILOL)
             1 L2 AND SALTS OF CARVEDILOL
T.9
=> s 12 and crystalline
         86191 CRYSTALLINE
           270 CRYSTALLINES
         86439 CRYSTALLINE
                 (CRYSTALLINE OR CRYSTALLINES)
        374190 CRYST
          1802 CRYSTS
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                 (CRYSTALLINE OR CRYST)
L10
             6 L2 AND CRYSTALLINE
=> s 110 and form II
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L11
=> d his
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     FILE 'HCAPLUS' ENTERED AT 08:40:06 ON 16 JUL 2008
L1
           1943 S CARVEDILOL
L2
             30 S L1 AND PROCESS FOR THE PREPARATION
L3
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L4
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L5
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L7
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L9
1.10
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L11
              2 S L10 AND FORM II
=> s 12 and py<=2003
      23986215 PY<=2003
L12
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=> d 14 ibib abs hitstr tot
L4 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         2008:411850 HCAPLUS
DOCUMENT NUMBER:
                         148:403079
TITLE:
                         Process for preparation of
```

carvedilol from 4-hydroxycarbazole,

epichlorohydrin, and 2-(2-methoxyphenoxy)ethylamine.

INVENTOR(S): Suri, Sanjay; Kashyap, Tapan

PATENT ASSIGNEE(S): Morepen Laboratories Limited, India

SOURCE: PCT Int. Appl., 21pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

KIND DATE APPLICATION NO. PATENT NO.

WO 2008038301 A1 20080403 WO 2007-IN389 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JF, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,

MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,

RUS, REN, REN, REN, REN, REY, REY, NEZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, ME, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, NA, VZ, WYZ, MO, BUT TT

BY, KG, KZ, MD, RU, TJ, TM IN 2006DE01711 A 20080404 IN 2006-DE1711 20060926 IN 2006-DE1711 A 20060926

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): CASREACT 148:403079 AB Carvedilol (I) was prepared by reaction of 4-hydroxycarbazole with

epichlorohydrin in an organic solvent in the presence of base, isolation of the intermediate 4-(2,3-epoxypropoxy)carbazole as a

solid cake and reaction of this with 2-(2-methoxyphenoxy)ethylamine in an organic solvent. The crude I is converted to pure product either through solvent crystallization (without salt formation) or through salt

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

formation followed by salt cleavage and solvent crystallization REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1288806 HCAPLUS DOCUMENT NUMBER: 144:22811

TITLE: A novel process for the preparation

of 1-(9H-carbazol-4-vloxy)-3-[[2-(-methoxyphenoxy)ethyl] amino]-propan-2-ol (carvedilol)

Tarur, Venkatasubramanian Radhakrishnan; Sathe, INVENTOR(S):

Dhananjay Govind; Kulkarni, Swapnil Jayant PATENT ASSIGNEE(S): USV Limited, India

PCT Int. Appl., 14 pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2005115981
                         A2
                               20051208 WO 2005-TN139
                                                                   20050503
     WO 2005115981
                         A3
                               20060119
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
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             ZM. ZW
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             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
     IN 2004MU00479
                                20060616
                                            IN 2004-MU479
                         Δ
                                                                   20040422
                         A1
                                            US 2006-568732
                                                                   20061227
     US 20070191456
                                20070816
                                            IN 2004-MU479
PRIORITY APPLN. INFO.:
                                                                A 20040422
                                            WO 2005-IN139
                                                               W 20050503
OTHER SOURCE(S):
                       CASREACT 144:22811
GI
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NH OMe

AB This invention disclosed a novel process for preparation of carvedilol (I) in high purity by using eco friendly solvents. The process comprised reacting 4-hydroxycarbazole with epichlorhydrin in presence of an organic solvent and a base at temps. between 10° and 30°, and then reacting the resultant 4-(2,3-epoxypropoxy)carbazole with a salt of 2-(2methoxyphenoxy)ethylamine, preferably the hydrochloride salt, in presence of a base and a hydroxylic solvent at temps, between 30° and

Т

L4 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1154673 HCAPLUS

DOCUMENT NUMBER: 142:93675 TITLE:

A process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-

methoxyphenoxy)ethyl]amino]propan-2-ol

Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev; Thennati, Rajamannar

PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India

SOURCE: PCT Int. Appl., 27 pp. CODEN: PIXXD2

DOCUMENT TYPE: Pat.ent.

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

INVENTOR(S):

	PA:	TENT :	. OV			KIN	D	DATE				ICAT				D.	ATE	
	WO	2004	1132	96		A1	_	2004	1229							2	0040	304
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO.	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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			TD,	TG														
	IN	2003	MUOO	647		A		2005	0211		IN 2	003-	MU64	7		2	0030	620
	US	2006	0270	858		A1		2006	1130		US 2	005-	5539	57		2	0051	019
1	PRIORIT:	Y APP	LN.	INFO	. :						IN 2	003-	MU64	7		A 2	0030	620
											IN 2	003-	MU72	1		A 2	0030	717
											WO 2	004-	IN52			W 2	0040	304
	OTHER SO	DURCE	(S):			CAS	REAC	CT 14	2:93	675;	MAR	PAT	142:	9367	5			

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

ΔR The present invention provides a process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]-propan-2-ol (I) in racemic form or in the form of optically active R or S enantiomer or its pharmaceutically acceptable salt, comprising, reacting 4-(oxiranylmethoxy)-9H-carbazole (II) or the R or S enantiomer thereof with a compound of formula (III) (wherein R1 = benzyl or substituted benzyl), in an aprotic organic solvent in presence of a catalyst to obtain a compound of formula (IV) (wherein R1 is as defined above), or the R or S enantiomer thereof. The resultant compound IV is subjected to debenzylation reaction by catalytic hydrogenation to obtain the compound I, if desired converting the resultant compound I to a pharmaceutically acceptable salt thereof. Thus, to 400 mL EtOAc, 70 g (0.27 mol) anhydrous N-[2-[2-(methoxy)phenoxy]ethyl]benzylamine, 10.25 g (0.075 mol) anhydrous ZnCl2, and 50 g (0.21 mol) 4-(oxiranylmethoxy)-9Hcarbazole were added and the reaction mixture was heated to 70-75° for 3 h (TLC control for checking conversion to N-benzylcarvedilol), cooled to ambient temperature, and quenched into 100 mL 12-15% aqueous NH3. The aqueous

layer was separated, and the product enriched organic layer was washed with

Page 8

till neutral Ph, treated with charcoal, and filtered. To this solution of N-benzyl carvedilol in EtOAc, 7 g wet 5% Pd/C catalyst (50% moisture content) was added and the reaction mixture was hydrogenated at 3.5-4.5 Kg/cm2 at temperature $60\text{-}70^\circ$ for a period of about 10 h and filtered. The filtrate was concentrated to remove EtOAc. To the resultant syrupy mass n-butanol (100 mL) was added and the solution was stirred for .apprx.10 h. The crystale were separated by filtration, washed successively with n-butanol (50 mL) and toluene (50 mL) to obtain carvedilol $(47\ g)$ which was recrystd. from 3 vols. EtOAc to obtain carvedilol

07/16/2008

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(42 g).
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:927171 HCAPLUS

DOCUMENT NUMBER: 141:395415

TITLE: Process for the preparation of crystalline carvedilol form-II

INVENTOR(S): Ramanjaneyulu, Gorantla Seeta; Kumar, Indukuri Venkata

Sunil; Rao, Ketavarapu Narasimha; Kishore, Jammula Vera Venkata Krishna

PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India

SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT:	ION	NO.		D.	ATE		
	WO	2004	0943	78		A1		2004	1104		WO 2	004-	IN10	4		2	0040	416	
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
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	IN	2003	MA00	328		A		2007	0518		IN 2	003-1	MA32	В		2	0030	421	
	ΕP	1615	888			A1		2006	0118		EP 2	004-	7279	71		2	0040	416	
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			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
	US	2007	0055	069		A1		2007	0308		US 2	005-	5528	43		2	0051	012	
PRIOR	ITY	APP	LN.	INFO	. :						IN 2	003-1	MA32	В		A 2	0030	421	
											NO 2	004-	IN10	4	1	W 2	0040	416	

OTHER SOURCE(S): CASREACT 141:395415

AB The present invention provides a cost-effective, industrially feasible process for the manufacture of crystalline carvedilol form-II using novel carvedilol salts comprising a step of reacting.

4-(2,3-epoxypropoxy) carbazole with 2-(2-methoxyphenoxy) ethylamine followed by acidification with mineral acid in presence of an organic solvent to yield acid addition salts, (e.g. carvedilol

oxalate), treatment of the said salts with base(s) in presence of

organic solvent(s), water, and isolation from the

organic solvent(s) followed by crystallization from Et acetate.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 15 ibib abs hitstr tot

L5 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:824932 HCAPLUS

TITLE: Carvedilol compositions

INVENTOR(S): Patil, Atul Vishvanath; Vishwanathan, Narayanan Badri;

Bhushan, Indu; Reddy, Gade Srinivas; Reddy, Mallepalli Srinivas; Reddy, Kasaraddy Padmaja

PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Limited, India; Dr. Reddy's

Laboratories, Inc.

SOURCE: PCT Int. Appl., 64pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	ENT :	NO.			KIN	D	DATE			APPL		ION I				ATE	
WO 2	2008	0831	30		A2		2008	0710		WO 2	007-	US88	774			0071	
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		MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,
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		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
		GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM									
VTTC	3 DD	T NT	TNEO							TAT 2	006-	21124	2.4		2	0061	226

PRIORITY APPLN. INFO.:

IN 2006-CH2424 A 20061226 US 2007-894712P P 20070314 IN 2007-CH1279 A 20070620

AB Amorphous carvedilol or its pharmaceutically acceptable salts, their processes of preparation and

pharmaceutical compns. An aspect of the invention relates to amorphous carvedilol phosphate, processes of preparation, and

its pharmaceutical compns.

L5 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:639197 HCAPLUS

DOCUMENT NUMBER: 148:593035

TITLE: Programmable drug delivery technology

INVENTOR(S): Singh, Amarjit; Singh, Sarabjit; Puthli, Shivanand;

Tandale, Rajendra PATENT ASSIGNEE(S): Panacea Biotec Limited, India

SOURCE: PCT Int. Appl., 50pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008062440	A2	20080529	WO 2007-IN392	20070903
W: AE, AG, A	AL, AM, AT,	AU, AZ,	BA, BB, BG, BH, BR, BW,	BY, BZ, CA,

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CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
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             KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
             MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                             IN 2006-MU1411
                                                                A 20060904
    The present invention is concerned with a system for spatially and
     temporally programmable delivery of an active agent. When administered
     orally, the system can be retained in the gastric region for a prolonged
     period of time. It comprises a core, one or more layers coated over the
     core and a preformed hollow space. The invention also concerns with a
     process for preparation of the system and a method for
     treating/preventing diseases, by administering to a subject in need
     thereof, the system of the invention.
   ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                       2007:963587 HCAPLUS
DOCUMENT NUMBER:
                         147:308201
TITLE:
                         Novel buccoadhesive compositions comprising a polymer
                         and a sugar and process of
                         preparation thereof
INVENTOR(S):
                         Jain, Rajesh; Jindal, Kour Chand; Devarajan, Sampath
                         Kumar
PATENT ASSIGNEE(S):
                         Panacea Biotec Ltd., India
SOURCE:
                         PCT Int. Appl., 45pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                      KIND DATE APPLICATION NO.
                        ----
     WO 2007096906
                         A2
                               20070830
                                          WO 2007-IN74
     WO 2007096906
                         A3 20071018
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
             KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, GB, SK, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INPO: N 2006-0227
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PRIORITY APPLN. INFO.: IN 2006-DE512 A 20060227 AB Novel buccoadhesive compns. comprising at least one bioactive agent(s), at least one bioactive polymer(s), at least one water soluble sugar component(s) and at least one binder(s), optionally with other excipients

07/16/2008 Page 11

are provided, wherein the said composition has improved cohesiveness, enhanced intactness and improved adhesion at the desired site of the mucosa for substantially longer duration and releases the bioactive agent(s) in a sustained manner in the oral cavity for extended time period. The composition releases the bioactive agent(s) in the oral cavity such that the bioactive agent is absorbed through the mucosal tissues of the oral cavity thereby bypassing the hepatic metabolism and resulting in increased bioavailability. The bioactive agent(s) is a pharmaceutically active agent(s) or a nutritional supplement(s) or a food product(s), or combinations thereof. Also provided is a process of preparation of such novel compns. comprising steps of (i) mixing the bioactive agent(s) or bioactive agent(s) complexed with cyclodextrin with filler(s); buccoadhesive polymer(s), binder(s), sweetener(s), sugar, color and flavor, optionally with other excipients, (ii) mixing the contents in step (i) with one part of lubricant(s) and roller compacting the blend to obtain compacts, (iii) crushing the compacts/slugs and passing the compacts through suitable sieve to obtain granules, (iv) mixing the granules with the remaining part of lubricant(s) optionally with other excipients, and (v) optionally compressing the blend of step (iv) into a suitable compressed dosage form. Thus, a tablet was prepared containing ondansetron/hydroxypropyl B-cyclodextrin complex 24.36 mg (equivalent to 8 mg of ondansetron base), sodium CM-cellulose (Blanose 7H4XF) 15.00 mg, Plasdone S 630 5.00 mg, maltodextrin 10.00 mg, sucrose 13.16 mg, aspartame 1.00 mg, sodium stearyl fumarate 0.70 mg, Lake of erythrosine 0.07 mg, and strawberry flavor 0.70

ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN 2007:770875 HCAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 148:545974

TITLE: A novel cost effective process for production of

carvedilol phosphate INVENTOR(S):

Shankar, Sanganbhatla; Pandurang, Suryavanshi Jitendra; Sayyed, Zahid Alam

PATENT ASSIGNEE(S): Wanbury Limited, India Indian Pat. Appl., 13pp.

SOURCE: CODEN: INXXBQ

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIN	D DATE	APPLICATION NO.	DATE
IN 2007MU00929	A	20070706	IN 2007-MU929	20070517
PRIORITY APPLN. INFO.:			IN 2007-MU929	20070517

AB A novel cost effective process for the synthesis of phosphate salts of 1-(9H-carbazol-4yloxy)-3-[[2-(2-methoxyphenoxy)ethyl] amino]-propan-2-ol, (carvedilol phosphate) of formula (II) with high yields and purity is disclosed. More particularly, the invention discloses a process of preparation of crystalline phosphate salts of carvedilol using various phosphonation reagents such as phosphorous pentoxide, polyphosphoric acid, Dipotassium hydrogen phosphate, Ammonium Dihydrogen ortho phosphate, and Sodium Dihydrogen ortho phosphate in solvents selected from Acetonitrile, acetone and THF. The solvents used to prepare solvates of carvedilol dihydrogen phosphate are methanol, ethanol and iso-Pr alc.

07/16/2008

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L5 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:927171 HCAPLUS
DOCUMENT NUMBER:
                             141:395415
TITLE:
                             Process for the preparation of
                             crystalline carvedilol form-II
INVENTOR(S):
                             Ramanjaneyulu, Gorantla Seeta; Kumar, Indukuri Venkata
                             Sunil; Rao, Ketavarapu Narasimha; Kishore, Jammula
                             Vera Venkata Krishna
PATENT ASSIGNEE(S):
                             Matrix Laboratories Ltd., India
SOURCE:
                             PCT Int. Appl., 18 pp.
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
LANGUAGE:
                             English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                            KIND DATE
                                                    APPLICATION NO.
                                                                               DATE
     WO 2004094378 A1 20041104 WO 2004-IN104 20040416
          20041094378
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SL,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM, ZW
RW: BM, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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               SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
               TD, TG
                              A 20070518 IN 2003-MA328
A1 20060118 EP 2004-727971
      IN 2003MA00328
                                                                                20030421
      EP 1615888
                                                                                 20040416
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                                                    US 2005-552843 20051012
IN 2003-MA328 A 20030421
WO 2004-IN104 W 20040416
                          A1 20070308
      US 20070055069
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
                      CASREACT 141:395415
     The present invention provides a cost-effective, industrially feasible
     process for the manufacture of crystalline carvedilol form-II using novel
     carvedilol salts comprising a step of reacting
      4-(2,3-epoxypropoxy) carbazole with 2-(2-methoxyphenoxy) ethylamine followed
      by acidification with mineral acid in presence of an organic solvent to yield
      acid addition salts, (e.g. carvedilol oxalate), treatment
     of the said salts with base(s) in presence of organic solvent(s),
     water, and isolation from the organic solvent(s) followed by crystallization
from Et
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4 L5 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:412919 HCAPLUS

DOCUMENT NUMBER: 140:406735

TITLE: Process for the preparation of

carvedilol from 4-(oxirane-2-ylmethoxy)-9Hcarbazole and 2-(2-methoxyphenoxy)ethylamine

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

07/16/2008

acetate. REFERENCE COUNT: salts

INVENTOR(S): Hercek, Richard; Skoda, Alojz; Proksa, Bohumil

PATENT ASSIGNEE(S): Zentiva, A.S., Slovakia SOURCE: PCT Int. Appl., 13 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A1 20040521 WO 2003-SK20 WO 2004041783 20031104 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, FG, FR, FL, FI, RO, RO, SC, SD, SE, SG, SL, SL, SI, SI, LO, LE, IR, FR, FR, FL, FR, RO, RO, SC, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GH, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FT, FR, GB, GR, HU, LE, IT, LU, MC, NL, FT, RO, SE, SI, ST, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG B6 20070301 SK 2002-1595 20021108 A1 20040607 AU 2003-301861 20031104 A1 20050803 EP 2003-810732 20031104 SK 285547 AU 2003301861 A1 20040607 A1 20050803 EP 1558575 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2005-533809 US 20060167077 A1 20060727 20050505

WO 2003-SK20
OTHER SOURCE(S): CASREACT 140:406735

AB Carvedilol is prepared in high yield and selectivity by the

reaction of 4-(oxirane-2-ylmethoxy)-9H-carbazole with acid-addition

salts of 2-(2-methoxyphenoxy)ethylamine [e.g.,

2-(2-methoxyphenoxy)ethylamine hydrochloride) in the presence of a base (e.g., potassium carbonate) in an C2-5 alc. solvent (e.g., isopropanol) at an elevated temperature (e.g., 83°).

SK 2002-1595

A 20021108

W 20031104

L5 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:282536 HCAPLUS

DOCUMENT NUMBER: 138:292802

TITLE: Pseudopolymorphic forms of carvedilol

INVENTOR(S): Bubendorf, Andre Gerard; Gabel, Rolf-dieter; Henning, Michael; Krimmer, Siegfried; Neugebauer, Guenter;

Preis, Walter; Wirl, Alexander F. Hoffmann-La Roche Ag, Switz.

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PRIORITY APPLN. INFO.:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029214	A1	20030410	WO 2002-EP10451	20020918

07/16/2008 Page 14

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
               PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
               UA, UG, UZ, VN, YU, ZA, ZM, ZW
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               FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
               CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      CA 2460486
                         A1 20030410 CA 2002-2460486
                                                                                20020918
      AU 2002338726
                             B9
                                     20030414 AU 2002-338726
                                                                                20020918
     AU 2002338726
                             A1
                                     20030414
     AU 2002338726
                             B2 20070315
     EP 1432681
                             A1
                                    20040630 EP 2002-777139
                                                                                20020918
                             B1 20040630
      EP 1432681
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
     IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, BBR 2002-12927 A 20041013 BR 2002-12927 A 20041013 BR 2002-12927 A 20041013 BR 2002-12927 A 2005507899 T 20050324 JP 2003-532464 AT 369339 T 20070815 AT 2002-777139 RU 2308449 C2 20071020 RU 2004-113209 ES 2291503 T3 20080301 ES 2002-777139 US 20030119893 AI 20030626 US 2002-255290 WX 2004-P04578 KR 752549 BI 20070830 KR 2004-704578 US 2006018872 AI 20041007 US 2004-827859 US 20060148878 AI 20060706 US 2006-325754 RITY APPLN. INFO:
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                                                                20020918
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A 20010928
W 20020918
PRIORITY APPLN. INFO.:
                                                     EP 2001-123422
                                                     WO 2002-EP10451
                                                     US 2002-255290
                                                                           B1 20020926
                                                     US 2004-827859
                                                                         B1 20040420
AR
    The present invention is related to pseudopolymorphic forms of
     1-(4-carbazolyloxy)-3[2-(2-methoxyphenoxy)ethylamino]-2-propanol (
     carvedilol) or its optically active forms or pharmaceutically
      acceptable salts, processes for their prepn
     ., and pharmaceutical compns. containing them for the treatment or prophylaxis
      of cardiac diseases.
REFERENCE COUNT:
                                    THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
                                    RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L5 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:96212 HCAPLUS
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DOCUMENT NUMBER: 130:158418

TITLE: Thermodynamically stable modification of

1-(4-carbazolvloxy)-3-[2-(2-methoxyphenoxy)ethylamino]-

2-propanol, process for its

preparation and pharmaceutical compositions

containing it

Reinholz, Erhard; Bever, Peter INVENTOR(S):

Boehringer Mannheim G.m.b.H., Germany PATENT ASSIGNEE(S):

PCT Int. Appl., 20 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

07/16/2008

PATENT INFORMATION:

I	PAT	ENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		E	DATE	
V	WO	9905	105			A1		1999	0204		WO	1998-	EP44	75		1	9980	718
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			FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL	, PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
			CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD	, TG						
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Z	ΑU	7404	53			B2		2001	1101									
E	EΡ	1000	027			A1		2000	0517		EP	1998-	9375	76		1	.9980	718
E	EΡ	1000	027			B1		2003	0402									
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			IE,	SI,	LT,	LV,	FΙ,	RO										
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- 1	HU	2000	0031	98		A2		2001	0328		HU	1998- 2000- 2000- 1998-	3198			1	.9980	718
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	JP	2001	5108	24		Т		2001	0807		JP	2000-	5041	04		1	.9980	718
P	NZ	5021	36			A		2002	0531		NZ	1998-	5021	36		1	.9980	718
F	AT	2361	23			T		2003	0415		AT	1998-	9375	76]	.9980	718
- 1	RU	2202	542			C2		2003	0420		RU	2000-	1030	33		- 1	.9980	718
- 1	IL	1336	77			A		2004	0601		IL	1998-	1336	77]	.9980	718
- 1	P.L	1916	02			BI		2006	0630		PL	1998- 2000- 1998- 1998- 2000-	3384	32		1	.9980	118
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1	NO	3135	88			BI		2002	1028					- 0				
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ļ	75	2003	0036	559		A1		2003	0220		US	2002-	1001	88		2	:0020	9 T U
000	JS	6730 APP	326 TN	TMEC		BZ		2004	U5U4		DD.	1007	1101	0.1			0070	722
LOK	117	MPP	LIN.	TMEO	. :						EP WO	1997- 1998-	11Z4	ac at		M. J	99/0	722
											WU	2000-	4622	10		w l	. 9980	121
	The s						-1					zuuu- rmodv						121
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preparation, and pharmaceutical compns. containing it. Crude carvediol is heated with MeON and CXA-coal to give forms I and II and these are recrystd. in isopropanol to give pure form I.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1999:90419 HCAPLUS

DOCUMENT NUMBER: 130:144175

TITLE: Thermodynamically stable modification of carvedilol, process for its preparation and pharmaceutical compositions

modification of Carvedilol, pharmacol. acceptable salts , or optically active forms thereof, processes for the

containing it

INVENTOR(S): PATENT ASSIGNEE(S): Beyer, Peter; Reinholz, Erhard Boehringer Mannheim GmbH, Germany

SOURCE: Eur. Pat. Appl., 11 pp. CODEN: EPXXDW DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	TENT I	ΝΟ.			KIN		DATE			APPI	LICAT	ION I	NO.		D.	ATE	
EP	8934	40			A1		1999	0127		EP 1	1997-	1124	91		1	9970	722
	R:							FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO										
IN	19981	MA01	596		A		2005	0304		IN 1	1998-1	4A15	96		1	9980	717
CA	2296	637			A1		1999	0204		CA 1	1998-:	2296	637		1	9980	718
CA	2296	637			C		2005	1115			1998-: 1998-:						
WO	9905	105			A1		1999	0204		WO 1	1998-1	EP 44	75		1	9980	718
	W:										BY,						
											IS,						
											MK,						
						SE,	SG,	SI,	SK,	SL,	. TJ,	TM,	TR,	TT,	UA,	UG,	US,
			VN,														
	RW:										AT,						
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
		CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		_				
AU	9886	319			A		1999	0216		AU :	1998-	3631	9		1	9980	718
AU	7404	53			B2		2001	1101			TG 1998-	0.00					
EP	1000	027			AI		2000	0517		EP .	1998-	13/5	/6		1	9980	118
EP	1000	027	DD	OII	BI	DI	2003	0402	OB	OD	IT,			NTT	on.	110	D.F
	ĸ:	AI,	SI,	CH,	DE,	DK,	ES,	PR,	GB,	GR,	, 11,	LI,	LU,	NL,	SE,	MC,	PI,
TD	2000	0014	o 21,	ы,	т?	гı,	2000	0721		TD 1	2000-	1.40			- 1	9980	710
DD.	9810	776	0		7		2000	0721		DD 1	2000- 1998- 2000-	1077	6		1	9980	
DIT	2000	0031	00		7.2		2000	0320		DIT 1	2000-	2100			1	9980	
HII	2000	0031	98		7.3		2001	1028		no a	2000-	3130					
.TP	2000	5108	24		т		2001	0807		.TP :	2000- 1998- 1998- 1998- 2000-	5041	n 4		1	9980	718
NZ.	5021	36			Ā		2002	0531		NZ 1	1998-	5021	36		1	9980	718
TW	5056	31			B		2002	1011		TW 1	1998-	3711	1738		1	9980	718
AΤ	2361	23			T		2003	0415		AT 1	1998-	3375	76		1	9980	718
RU	2202	542			C2		2003	0420		RU 2	2000-	1030	3.3		1	9980	718
PT	1000	027			T		2003	0731		PT 1	1998-	375	76		1	9980	718
CN	1125	047			В		2003	1022		CN 1	1998-	3074	36		1	9980	718
ES	2195	366			Т3		2003	1201		ES 1	1998-: 1998-:	375	76		1	9980	718
IL	1336	77			A		2004	0601		IL 1	1998-	1336	77		1	9980	718
ΡL	1916	02			B1		2006	0630		PL 1	1998-	3384	32		1	9980	718
CZ	2969	47			В6		2006	0816		CZ 2	2000-	221			1	9980	718
z_{A}	9806	475			A		2000	0121		ZA 1	1998-	5475			1	9980	721
ΜX	2000	0050	7		A		2000	1109		MX 2	2000-	507			2	0000	113
NO	2000 3135 1029 2003	0003	01		A		2000	0121		NO 2	2000- 1998- 2000- 1998- 1998- 1998- 1998- 1998- 1998- 1998- 1998- 2000- 1998- 2000- 2000-	301			2	0000	121
ИО	3135	88			В1		2002	1028									
HK	1029	339			A1		2004			HK 2	2001- 2002-	1000	12		2	0010	102
US	2003	0036	559		A1		2003			US 2	2002-	1661	88		2	0020	610
US	6730	326			B2		2004	0504									
IT:	APP:	LN.	INFO	. :						EP I	1997-	1124	91	- 1	A 1	9970	722
										WO 1	1998-1	EP44	75	1	W 1	9980	718

PR.

US 2000-463346 B1 20000121

AB A new thermodynamically stable modification of 1-(4-carbazolyloxy)-3-[2-(2-methoxyphenoxy)-ethylamino-12-propanol (carveditol), pharmacol. acceptable salts, or optically active forms thereof, processes for the preparation, and pharmaceutical compns. containing it is disclosed. Thus, 300 g crude carvedilol, 15 g CXA-coal and 2800 methanol was heated for 15 min under reflux, then the hot solution was filtered, washed with 300 mL hot methanol and heated under reflux again. Subsequently the solution was cooled down to 0° and the product was isolated, washed with methanol and dried to obtain 203-255 g of pure form I. Form II can be obtained by addnl. recrystn. process in isoprograpa.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 16 ibib abs hitstr tot

L6 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:411850 HCAPLUS

DOCUMENT NUMBER: 148:403079

TITLE: Process for preparation of

carvedilol from 4-hydroxycarbazole, epichlorohydrin, and 2-(2-methoxyphenoxy)ethylamine.

INVENTOR(S): Suri, Sanjay; Kashyap, Tapan

PATENT ASSIGNEE(S): Morepen Laboratories Limited, India

SOURCE: PCT Int. Appl., 21pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT :	NO.			KIN	D	DATE					ION I			Di	ATE	
WO	2008	0383	01		A1	-	2008	0403							2	0070	905
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
		KM,	KN,	KΡ,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
		MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
		GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
							ТJ,										
	2006															0060	
RIORIT											006-	DE17	11	1	A 2	0060	926

OTHER SOURCE(S): CASREACT 148:403079

AB Carvedilol (I) was prepared by reaction of 4-hydroxycarbazole with epichlorohydrin in an organic solvent in the presence of base, isolation of the intermediate 4-(2,3-epoxypropoxy)carbazole as a solid cake and reaction of this with 2-(2-methoxyphenoxy)ethylamine in an organic solvent. The crude I is converted to pure product either through solvent crystallization (without salt formation) or through salt formation followed by salt

07/16/2008 Page 18

cleavage and solvent crystallization

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1154673 HCAPLUS

DOCUMENT NUMBER: 142:93675

TITLE: A process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-

methoxyphenoxy)ethyl]amino]propan-2-ol

INVENTOR(S): Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev;

Thennati, Rajamannar

PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent. LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.		APPLICA'	TION NO.	DATE
WO 2004113296	A1 2004:		-IN52	20040304
		AZ, BA, BB, BG DK, DM, DZ, EC		
GE, GH, GI	, HR, HU, ID,	IL, IN, IS, JP MA, MD, MG, MK	KE, KG, KP,	KR, KZ, LC,
NO, NZ, O	, PG, PH, PL,	PT, RO, RU, SC	SD, SE, SG,	SK, SL, SY,
		UA, UG, US, UZ MZ, SD, SL, SZ		
		TM, AT, BE, BG IE, IT, LU, MC		
SK, TR, B		CI, CM, GA, GN		
TD, TG IN 2003MU00647				
US 20060270858 PRIORITY APPLN. INFO.:	A1 2006:		-553957 -MU647	20051019 A 20030620
				A 20030717 W 20040304
OTHER SOURCE(S):	CASREACT 142	2:93675; MARPAT		W 20040304

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The present invention provides a process for preparation of AB 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]-propan-2-ol (I) in racemic form or in the form of optically active R or S enantiomer or its pharmaceutically acceptable salt, comprising, reacting 4-(oxiranylmethoxy)-9H-carbazole (II) or the R or S enantiomer thereof with a compound of formula (III) (wherein R1 = benzyl or substituted benzyl), in an aprotic organic solvent in presence of a catalyst to obtain a compound of formula (IV) (wherein R1 is as defined above), or the R or S enantiomer thereof. The resultant compound IV is subjected to debenzylation reaction by catalytic hydrogenation to obtain the compound I, if desired

converting the resultant compound I to a pharmaceutically acceptable salt thereof. Thus, to 400 mL EtOAc, 70 g (0.27 mol) anhydrous N-[2-[2-(methoxy)]ethyl]benzylamine, 10.25 g (0.075 mol) anhydrous ZnCl2, and 50 g (0.21 mol) 4-(oxiranylmethoxy)-9H-carbazole were added and the reaction mixture was heated to 70-75° for 3 h (TLC control for checking conversion to N-benzylcarvedilol), cooled to ambient temperature, and quenched into 100 mL 12-15% aqueous NH3. The advecus laver was separated, and

the

product enriched organic layer was washed with water till neutral Ph, treated with charcoal, and filtered. To this solution of N-benzyl carvedilol in EtOAc, 7 g wet 5% Pd/C catalyst (50% moisture content) was added and the reaction mixture was hydrogenated at 3.5-4.5 Kg/cm2 at temperature 60-70° for a period of about 10 h and filtered. The filtrate was concentrated to remove EtOAc. To the resultant syrupy mass n-butanol (100 mL) was added and the solution was stirred for .apprx.10 h. The crystals were separated by filtration, washed successively with n-butanol (50 mL) and toluene (50 mL) to obtain carvedilol (47 g) which was recrystd. from 3 vols. EtOAc to obtain carvedilol (42 g).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:927171 HCAPLUS

DOCUMENT NUMBER: 141:395415

TITLE: Process for the preparation of crystalline carvedilol form-II

INVENTOR(S): Ramanjaneyulu, Gorantla Seeta; Kumar, Indukuri Venkata

Sunil; Rao, Ketavarapu Narasimha; Kishore, Jammula Vera Venkata Krishna

PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India

SOURCE: PCT Int. Appl., 18 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT				KIN		DATE					ION I				ATE		
WO	2004	0943	78		A1		2004	1104	1	WO 2	004-	IN10	4		2	0040	416	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	zw	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
		TD,	TG															
IN	2003	MA00	328		A		2007	0518		IN 2	003-	MA32	8		2	0030	421	
EP	1615	888			A1		2006	0118	1	EP 2	004-	7279	71		2	0040	416	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	H
US	2007	0055	069		A1		2007	0308	- 1	US 2	005-	5528	43		2	0051	012	
DRIT:	Y APP	LN.	INFO	. :						IN 2	003-	MA32	8		A 2	0030	421	

07/16/2008 Page 20

WO 2004-IN104 W 20040416

CASREACT 141:395415 OTHER SOURCE(S):

AB The present invention provides a cost-effective, industrially feasible process for the manufacture of crystalline carvedilol form-II using novel carvedilol salts comprising a step of reacting 4-(2,3-epoxypropoxy) carbazole with 2-(2-methoxyphenoxy) ethylamine followed by acidification with mineral acid in presence of an organic solvent to yield acid addition salts, (e.g. carvedilol oxalate), treatment of the said salts with base(s) in presence of organic solvent(s), water, and

isolation from the organic solvent(s) followed by crystallization from Et acetate.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 17 ibib abs hitstr tot

L7 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:411850 HCAPLUS

DOCUMENT NUMBER: 148:403079 TITLE: Process for preparation of

carvedilol from 4-hydroxycarbazole,

epichlorohydrin, and 2-(2-methoxyphenoxy)ethylamine.

Suri, Sanjay; Kashyap, Tapan Morepen Laboratories Limited, India INVENTOR(S): PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 21pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent. LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE ____ A1 20080403 WO 2007-IN389 20070905 WO 2008038301 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH. GM. KE. LS. MW. MZ. NA. SD. SL. SZ. TZ. UG. ZM. ZW. AM. AZ. BY, KG, KZ, MD, RU, TJ, TM IN 2006DE01711 A 20080404

IN 2006-DE1711 20060926 IN 2006-DE1711 A 20060926 PRIORITY APPLN. INFO.: CASREACT 148:403079 OTHER SOURCE(S):

Carvedilol (I) was prepared by reaction of 4-hydroxycarbazole with epichlorohydrin in an organic solvent in the presence of base, isolation of the intermediate 4-(2,3-epoxypropoxy) carbazole as a solid cake and reaction of this with 2-(2-methoxyphenoxy)ethylamine in an organic solvent. The crude I is converted to pure product either through solvent crystallization

(without salt formation) or through salt formation followed by salt

cleavage and solvent crystallization

07/16/2008 Page 21 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:927171 HCAPLUS

DOCUMENT NUMBER: 141:395415

TITLE: Process for the preparation of crystalline carvedilol form-II

INVENTOR(S): Ramanjaneyulu, Gorantla Seeta; Kumar, Indukuri Venkata

Sunil; Rao, Ketavarapu Narasimha; Kishore, Jammula

Vera Venkata Krishna

PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIN	D	DATE			APPL						ATE		
	WO	2004	0943	78		A1		2004	1104										
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	z_W	
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
			BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
			TD,	TG															
	IN	20031	MA00:	328		A		2007	0518		IN 2	003-	MA32	8		2	0030	421	
	ΕP	1615	888			A1		2006	0118		EP 2	004-	7279	71		2	0040	416	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
	US	2007	0055	069		A1		2007	0308		US 2	005-	5528	43		2	0051	012	
RIOI	RIT	Y APP	LN.	INFO	. :						IN 2								
											WO 2	004-	IN10	4	1	W 2	0040	416	

OTHER SOURCE(S): CASREACT 141:395415

AB The present invention provides a cost-effective, industrially feasible process for the manufacture of crystalline carvedilol form-II using novel carvedilol salts comprising a step of reacting 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine followed by acidification with mineral acid in presence of an organic solvent to yield acid addition salts, (e.g. carvedilol oxalate), treatment of the said salts with base(s) in presence of organic solvent(s), water, and isolation from the organic solvent (s) followed by crystallization from Et

acetate.

PR

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 19 ibib abs hitstr tot

L9 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

10552843

ACCESSION NUMBER: 2007:770875 HCAPLUS

DOCUMENT NUMBER: 148:545974

TITLE: A novel cost effective process for production of

carvedilol phosphate

INVENTOR(S): Shankar, Sanganbhatla; Pandurang, Suryavanshi

Jitendra; Sayyed, Zahid Alam PATENT ASSIGNEE(S):

Wanbury Limited, India SOURCE: Indian Pat. Appl., 13pp.

CODEN: INXXBO DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. IN 2007MU00929 A 20070706 _____ A 20070706 IN 2007-MU929 20070517 IN 2007-MU929 20070517 PRIORITY APPLN. INFO.: AB A novel cost effective process for the synthesis of phosphate salts of

1-(9H-carbazol-4vloxy)-3-[[2-(2-methoxyphenoxy)ethyl] amino]-propan-2-ol,(carvedilol phosphate) of formula (II) with high yields and purity is disclosed. More particularly, the invention discloses a process of preparation of crystalline phosphate salts of carvedilol using various phosphonation reagents such as

phosphorous pentoxide, polyphosphoric acid, Dipotassium hydrogen phosphate, Ammonium Dihydrogen ortho phosphate, and Sodium Dihydrogen ortho phosphate in solvents selected from Acetonitrile, acetone and THF.

The solvents used to prepare solvates of carvedilol dihydrogen phosphate are methanol, ethanol and iso-Pr alc.

=> d 110 ibib abs hitstr tot

L10 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:832216 HCAPLUS

TITLE: Novel polymorphic forms of carvedilol

dihydrogen phosphate and process for preparing the

Jetti, Ramakoteswara Rao; Gorantla, Asha Rani; Tvagi, INVENTOR(S):

Om Dutt

PATENT ASSIGNEE(S): Matrix Laboratories Limited, India

SOURCE: U.S. Pat. Appl. Publ., 30pp.

CODEN: USXXCO DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 20080167477 A1 20080710 US 2007-852213 20070907
PRIORITY APPLN. INFO.: IN 2007-CH466 A 20070108
IN 2007-CH485 A 20070309

The present invention provides novel crystalline polymorphic forms and amorphous form of carvedilol dihydrogen phosphate characterized by different solid state techniques. The novel processes for their preparation are also disclosed.

L10 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:770875 HCAPLUS

DOCUMENT NUMBER: 148:545974

TITLE: A novel cost effective process for production of

carvedilol phosphate

INVENTOR(S): Shankar, Sanganbhatla; Pandurang, Survavanshi

Jitendra; Savved, Zahid Alam PATENT ASSIGNEE(S): Wanbury Limited, India

Indian Pat. Appl., 13pp. SOURCE:

CODEN: INXXBQ Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. FAISNI NO. ANN DAIS APPLICATION NO. DAIS

IN 2007MU00929 A 20070577 IN 2007–M9229 20070517 PRIORITY APPLIN INFO:: IN 2007–M9229 20070517

AB A novel cost effective process for the synthesis of phosphate salts of 1-(9H-carbazol-4yloxy)-3-[[2-(2-methoxyphenoxy)ethyl] amino]-propan-2-ol,(carvedilol phosphate) of formula (II) with high yields and purity is disclosed. More particularly, the invention discloses a process of preparation of crystalline phosphate salts of carvedilol using various phosphonation reagents such as

phosphorous pentoxide, polyphosphoric acid, Dipotassium hydrogen phosphate, Ammonium Dihydrogen ortho phosphate, and Sodium Dihydrogen ortho phosphate in solvents selected from Acetonitrile, acetone and THF.

The solvents used to prepare solvates of carvedilol dihydrogen

phosphate are methanol, ethanol and iso-Pr alc.

L10 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:1338211 HCAPLUS

DOCUMENT NUMBER: 146:68735

TITLE: Crystalline forms of carvedilol and processes for their preparation

INVENTOR(S): Lifshitz, Igor; Wizel, Shlomit Teva Pharmaceutical Industries Ltd., Israel; Teva PATENT ASSIGNEE(S):

Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 17pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

07/16/2008 Page 24

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                        A1
                              20070222
                                        US 2006-450699
                                                                20060609
                             20070509 EP 2006-772705
    EP 1781611
                        A1
                                                               20060609
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            BA, HR, MK, YU
    KR 2007088507 A
                              20070829
                                          KR 2007-705429
                                                                20070307
PRIORITY APPLN. INFO.:
                                          US 2005-689776P
                                                            P 20050609
                                          WO 2006-US22499
                                                            W 20060609
    This invention relates to a novel crystalline form of
    carvedilol, to processes for its preparation, to
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compns. containing it and to its use in medicine. This invention further relates to a novel process for preparing crystalline carvedilol

. Thus, carvedilol 50 g and Et acetate 500 mL were put into

clean flask, the slurry was heated to temperature higher than 70 °C to get full dissoln. The solution was cooled to about 0-5°C. At temperature of about 5-10° spontaneous precipitation occurred. The solid substance was filtered and washed by Et acetate.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:216797 HCAPLUS

DOCUMENT NUMBER:

142:285152 New crystalline forms of carvedilol

TITLE: INVENTOR(S):

Zupet, Rok; Grcman, Marija; Smrkolj, Matej

PATENT ASSIGNEE(S):

Krka, Tovarna Zdravil D.D. Novo Mesto, Slovenia

SOURCE: PCT Int. Appl., 20 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA'	TENT :	NO.			KIN	D	DATE			APPI.	TCAT	TON :	NO.		D	ATE	
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		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
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07/16/2008 Page 25

A 20030902 PRIORITY APPLN. INFO.: ST 2003-218 WO 2004-ST29 W 20040901

The present invention relates to new crystalline carvedilol forms VII and IX and to processes for the preparation Particularly, this invention relates to processes of the isolation of carvedilol, using Et acetate as a solvent and preparation of an Et acetate solvate.

L10 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:927171 HCAPLUS

DOCUMENT NUMBER: 141:395415

TITLE: Process for the preparation of crystalline carvedilol form-II

INVENTOR(S): Ramanjaneyulu, Gorantla Seeta; Kumar, Indukuri Venkata

Sunil; Rao, Ketavarapu Narasimha; Kishore, Jammula Vera Venkata Krishna

PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India

SOURCE: PCT Int. Appl., 18 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Enalish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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US	2007	0055	069		A1		2007	0308		US 2	005-	5528	43		2	0051	012
RIT	Y APP	LN.	INFO	. :						IN 2	003-	MA32	8		A 2	0030	421
										WO 2	004-	IN10	4		W 2	0040	416
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AB using novel carvedilol salts comprising a step of reacting

4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine followed by acidification with mineral acid in presence of an organic solvent to yield acid addition salts, (e.g. carvedilol oxalate), treatment of the said salts with base(s) in presence of organic solvent(s), water, and

isolation from the organic solvent(s) followed by crystallization from Et acetate.

REFERENCE COUNT:

PRI

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L10 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:570906 HCAPLUS

DOCUMENT NUMBER: 139:122716

TITLE: Crystalline solids of carvedilol and processes for their preparation

INVENTOR(S): Kor, Ilan; Wizel, Shlomit

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva

Pharmaceuticals USA, Inc. SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

	PATENT NO.							DATE				LICAT					ATE	
	WO		0598	07		A2		2003	0724			2003-						
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			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW	, ML,	MR,	NE,	SN,	TD,	TG	
	CA	2472	377			A1		2003	0724		CA	2003-	2472	377		2	0030	115
	CA 2472377 AU 2003205146					A1		2003	0730		AU	2003-	2051	46		2	0030	115
	US	2003	0166	702		A1		2003	0904		US	2003-	3429	05		2	0030	115
	US	6710	184			B2		2004	0323			2003-						
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EKIU	IORITY APPLN. INFO.:																	
												2003- 2003-					0030	
	m) .											ine s				VI 2	.0030	113
AB																		

AB This invention relates to a novel crystalline solid of carvedilol or a solvate thereof, to processes for its preparation, to compns. containing it and to its use in medicine. This invention further relates to a novel process for preparing a crystalline solid of carvedilol form II.

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L11 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:927171 HCAPLUS

DOCUMENT NUMBER: 141:395415

TITLE: Process for the preparation of

crystalline carvedilol form

INVENTOR(S):

Ramanjaneyulu, Gorantla Seeta; Kumar, Indukuri Venkata Sunil; Rao, Ketavarapu Narasimha; Kishore, Jammula

Vera Venkata Krishna

PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India

SOURCE: PCT Int. Appl., 18 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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US	US 20070055069						2007	0308		US 2	005-	5528	43		2	0051	012	
PRIORIT	RIORITY APPLN. INFO.:									IN 2	003-	MA32	В		A 2	0030	421	
								WO 2	004-	IN10	4		W 2	0040	416			

CASREACT 141:395415

AB The present invention provides a cost-effective, industrially feasible process for the manufacture of crystalline carvedilol

form-II using novel carvedilol salts

comprising a step of reacting 4-(2,3-epoxypropoxy)carbazole with

2-(2-methoxyphenoxy)ethylamine followed by acidification with mineral acid in presence of an organic solvent to yield acid addition salts, (e.g. carvedilol oxalate), treatment of the said salts with base(s) in

presence of organic solvent(s), water, and isolation from the organic solvent(s)

followed by crystallization from Et acetate.

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS 4 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN 2003:570906 HCAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: 139:122716

TITLE: Crystalline solids of carvedilol and processes for their preparation

Kor, Ilan; Wizel, Shlomit INVENTOR(S):

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva

Pharmaceuticals USA, Inc. SOURCE . PCT Int. Appl., 18 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Pat.ent.

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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11/101/	CIORIII AFFEN. INFO								US 2							
									WO 2							

AB This invention relates to a novel crystalline solid of carvedilol or a solvate thereof, to processes for its preparation, to compns. containing it and to its use in medicine. This invention further relates to a novel process for preparing a crystalline solid of carvedilol form II.

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L12 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:570906 HCAPLUS

DOCUMENT NUMBER: 139:122716

TITLE: Crystalline solids of carvedilol and

processes for their preparation Kor, Ilan; Wizel, Shlomit INVENTOR(S):

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 18 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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CN JP US ZA MX	US 6710184 EP 1474133 R: AT, BE, C IE, SI, L CN 1615133 JP 2005515226 US 20040171665 ZA 2004005443 MX 2004PA06909				DE, LV, A T A1 A	DK, FI,	ES, RO, 2005 2005 2004 2005 2005	MK, 0511 0526 0902	GB, CY,	GR, AL, CN 2 JP 2 US 2 ZA 2 MX 2	IT, TR, 003- 003- 003- 004-	LI, BG, 8022 5599 7127 5443 PA69	LU, CZ, 10 22 99	NL, EE,	SE, HU, 2 2 2 2 2	MC, SK 0030 0030 0031	PT, 115 115 112 708 715	
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AB This invention relates to a novel crystalline solid of carvedilol or a solvate thereof, to processes for its preparation, to compns. containing it and to its use in medicine. This invention further relates to a novel process for preparing a crystalline solid of carvedilol form II.

L12 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2003:282536 HCAPLUS

138:292802

DOCUMENT NUMBER:

TITLE: Pseudopolymorphic forms of carvedilol

INVENTOR(S): Bubendorf, Andre Gerard; Gabel, Rolf-dieter; Henning, Michael; Krimmer, Siegfried; Neugebauer, Guenter;

Preis, Walter; Wirl, Alexander

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

PCT Int. Appl., 35 pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

07/16/2008 Page 30

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WO 2003029214 A1 20030410 WO 2002-EP10451 20020918 <--
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AU 2002338726 B9 20030414 AU 2002-338726
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     AU 2002338726 B9 20030414 A0 200238726 A1 20030414 A0 2002338726 B2 20070315 EP 1432681 A1 20040630 EP 2002-777139 EP 1432681 B1 20070808 EP 2002-777139 EP 1432681 B1 20070808
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US 2002-255290 B1 20020926
US 2004-827859 B1 20040420
   The present invention is related to pseudopolymorphic forms of
     1-(4-carbazolyloxy)-3[2-(2-methoxyphenoxy)ethylamino]-2-propanol (
     carvedilol) or its optically active forms or pharmaceutically
     acceptable salts, processes for their preparation, and
     pharmaceutical compns. containing them for the treatment or prophylaxis of
     cardiac diseases.
REFERENCE COUNT:
                              THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT
L12 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:556143 HCAPLUS
DOCUMENT NUMBER:
                         137:125080
TITLE:
                         Process for preparing heterocyclic indene analogs by
                         cyclocarbonylation at moderate temperatures and
TOURCE: U.S. Pat. Appl. Publ., 19 pp.
                         CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT: 1
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PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020099223 US 6777559	A1 B2	20020725 20040817	US 2002-54462	20020122 <
CA 2434408 WO 2002059089 WO 2002059089	A1 A2 A3	20020801 20020801 20021031	APPLICATION NO. US 2002-54462 CA 2002-2434408 WO 2002-EP583	20020122 < 20020122 <
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CY, DE, D	K, ES, FI	, FR, GB, G	SL, SZ, TZ, UG, ZM, ZW, SR, IE, IT, LU, MC, NL, SN, GQ, GW, ML, MR, NE,	PT, SE, TR,
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PRIORITY APPLN. INFO.:	B2	20070130	EP 2001-101584 US 2002-54462 WO 2002-EP583	A 20010125 A3 20020122 W 20020122
especially with t	preparat he prepar	ion heterocation of 4-	080; MARPAT 137:125080 cyclic indene analogs, hydroxycarbazole or N- bonylation followed by	protected
This		-	atalyst loadings.	
	4	THERE ARE 4	CITED REFERENCES AVAI CITATIONS AVAILABLE I	
L12 ANSWER 4 OF 6 HO ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:	2000:3 133:22	83901 HCAP 442	08 ACS on STN PLUS ombination preparations ardiovascular disorders	for treatment
INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:	F. Hof	fmann-La Ro t. Appl., 1	che AG., Switz.	
DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT PATENT INFORMATION:	Patent Englis			
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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07/16/2008 Page 32

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            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                       A1 20000608
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    BR 9915610
                       A
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                      A2 20010912
B1 20030423
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A3 19991120
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                                         EP 1998-122489
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                                         WO 1999-EP8972
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                                         US 2001-946205
                                                          B1 20010905
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Pharmaceutical prepns. for the treatment of cardiac and cardiovascular disorders such as hypertension, angina pectoris, cardiac insufficiency, and illnesses associated therewith contain carvedilol, a β -blocker with addnl. α 1-blocking activity, or a salt thereof and hydrochlorothiazide, a diuretic, or a salt thereof as a fixed combination of active substances, as well as usual additives. The process for production of the combination preparation permits the 2 active substance granulates to be pressed to a stable tablet in 1 operation, as follows: granulates of the 2 agents, each having a moisture content of 6-20% and a bulk d. of 0.1-1.5 g/mL, and the granulate moisture content and bulk d. of the 2 granulates differing from one another by \$30%, are combined to a press mass which is compressed to a solid dosage form, preferably a tablet. Since carvedilol is light sensitive, the dosage form is coated with a light-protecting film. At disintegrant contents >5%, the coating is applied at an initial spray rate sufficiently low to permit formation of a film on the tablet surface under conditions of air supply and temperature which remove the water of the film suspension as rapidly as possible from the tablet surface; after this critical phase of film formation is complete, the spray rate is increased to that conventional for film-coating. Thus, tablets were prepared containing carvedilol 25.000, hydrochlorothiazide 12.500, sucrose 25.000, lactose-H2O 28.060,

07/16/2008

PVP 1.780, crosslinked PVP 20.170, microcryst. cellulose 10.000, highly dispersed SiO2 5.320, and Mg stearate 2.170 mg, and coated with a mixture of Et acrylate/Me acrylate copolymer 2.248, Na citrate 0.308, hydroxypropylmethylcellulose 1.018, Macrogol 0.644, talc 1.624, TiO2 0.950, indigo carmine color lacquer 0.170, polysorbate 80 0.034, and dimethicone 0.004 mg.

L12 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:96212 HCAPLUS

DOCUMENT NUMBER: 130:158418

TITLE: Thermodynamically stable modification of

1-(4-carbazolyloxy)-3-[2-(2-methoxyphenoxy)ethylamino]-

2-propanol, process for its preparation and pharmaceutical compositions

containing it

INVENTOR(S): Reinholz, Erhard; Beyer, Peter

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany SOURCE:

Page 34

PCT Int. Appl., 20 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: Enalish

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

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A1 20040213 HK 2001-100012
A1 20030220 US 2002-166188
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                                                                 A 19970722
PRIORITY APPLN. INFO.:
                                              WO 1998-EP4475
US 2000-463346
                                                                 W 19980718
                                                                 B1 20000121
    The present invention relates to a new thermodynamically stable
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modification of Carvedilol, pharmacol. acceptable salts, or optically active forms thereof, processes for the prepn ., and pharmaceutical compns. containing it. Crude carvedilol is

heated with MeOH and CXA-coal to give forms I and II and these are recrystd. in isopropanol to give pure form I.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1999:90419 HCAPLUS

DOCUMENT NUMBER: 130:144175

TITLE: Thermodynamically stable modification of carvedilol, process for its

preparation and pharmaceutical compositions

containing it

INVENTOR(S): Beyer, Peter; Reinholz, Erhard

PATENT ASSIGNEE(S): Boehringer Mannheim GmbH, Germany SOURCE: Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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PRIORIT'	Y APPLN. INFO.:			EP	1997-112491	Α	19970722	
				WO	1998-EP4475	W	19980718	
				US	2000-463346	В1	20000121	

AB A new thermodynamically stable modification of 1-(4-carbazolyloxy)-3-[2-(2-methoxyphenoxy)ethylamino]-2-propanol (carwedilo1), pharmacol. acceptable salts, or optically active forms thereof, processes for the preparation, and pharmaceutical compns. containing it is disclosed. Thus, 300 g crude carvedilo1, 15 g CXA-cool and 2800 methanol was heated for 15 min under reflux, then the hot solution was filtered, washed with 300 mL hot methanol and heated under reflux again. Subsequently the solution was cooled down to 0° and the product was isolated, washed with methanol and dried to obtain 203-255 g of pure form I. Form II can be obtained by addnl. recrystn. process in isopropanol.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.21	155.42
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-26.40	-26.40

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